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| (51) International Patent Classification ⁷ : C07D 401/12, A61K 9/28, 31/41, 31/44 | | A3 | (11) International Publication Number: WO 00/28975 |
| | | | (43) International Publication Date: 25 May 2000 (25.05.00) |
| (21) International Application Number: PCT/SE99/02093 (22) International Filing Date: 15 November 1999 (15.11.99) (30) Priority Data: 9803953-0 18 November 1998 (18.11.98) SE 9803952-2 18 November 1998 (18.11.98) SE (71) Applicant (for all designated States except US): AS- TRAZENECA AB [SE/SE]; S-151 85 Södertälje (SE). (72) Inventors; and (75) Inventors/Applicants (for US only): ERICKSON, Magnus [SE/SE]; Astra Hässle AB, S-431 83 Mölndal (SE). GUS- TAVSSON, Anders [SE/SE]; Astra Production Chemicals AB, S-151 85 Södertälje (SE). JOSEFSSON, Lars [SE/SE]; Astra Hässle AB, S-431 83 Mölndal (SE). (74) Agent: ASTRAZENECA AB; Intellectual property, Patents, S-151 85 Södertälje (SE). | | (81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published With international search report. (88) Date of publication of the international search report: 10 August 2000 (10.08.00) | |
| (54) Title: IMPROVED CHEMICAL PROCESS AND PHARMACEUTICAL FORMULATION <div style="text-align: center;"> <p style="text-align: right;">(I)</p> </div> (57) Abstract <p>Process for the manufacturing of slightly soluble or less soluble alkaline salts of substituted sulphinyl heterocycles containing an imidazole moiety with formula (I), preferably alkaline salts of a proton pump inhibitor compound, wherein the process comprises the step of reacting the substituted sulphinyl heterocycle of formula (I) with a source of the cation in the presence of a base, characterized by a washing step in which the prepared alkaline salt of the substituted sulphinyl compound is washed with a basic aqueous solvent mixture. The obtained bulk drug substance resulting in a bulk product that in an aqueous suspension of the substituted sulphinyl heterocycle having a pH not significantly lower than that of a saturated water solution of the pure compound prepared. Alternatively, the process for manufacturing a pharmaceutical dosage form comprising the active substance could be adjusted. For instance the pH of an aqueous suspension of the active substance is adjusted to a pH not significantly lower than that of a saturated water solution of the pure compound. The processes are preferably useful in the manufacturing of omeprazole magnesium salt or magnesium salt of one of its single enantiomers used in pharmaceutical dosage forms.</p> | | | |

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/SE 99/02093

A. CLASSIFICATION OF SUBJECT MATTER

IPC7: C07D 401/12, A61K 9/28, A61K 31/41, A61K 31/44
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC7: C07D, A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

SE,DK,FI,NO classes as above

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category* | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|-----------|--|-----------------------|
| A | WO 9741114 A1 (ASTRA AKTIEBOLAG), 6 November 1997 (06.11.97) | 1-8 |
| X | -- | 9-10 |
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| X | -- | 9-10 |

☒ Further documents are listed in the continuation of Box C.

☒ See patent family annex.

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Date of the actual completion of the international search

3 May 2000

Date of mailing of the international search report

18-05-2000

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/SE 99/02093

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

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| | -- ----- | |

INTERNATIONAL SEARCH REPORT

International application No.
PCT/SE99/02093

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: **11, 20**
because they relate to subject matter not required to be searched by this Authority, namely:
see next sheet
2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).:

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☒ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☒ The additional search fees were accompanied by the applicant's protest.
☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/SE99/02093

Remark: Claims 11 and 20 are directed to method of treatment of the human or animal body by therapy methods practised on the human or animal body/Rule 39.1(iv). Nevertheless, a search has been executed for this claims. The search has been based on the alleged effects of the composition.

INTERNATIONAL SEARCH REPORT

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02/12/99

International application No.

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02/12/99

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